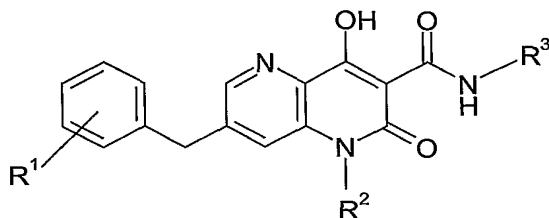


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**Claims**

1. A compound of formula (I):



(I)

wherein:

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R<sup>1</sup> is one or more substituents independently selected from hydrogen, hydroxy, CN, N(R<sup>a</sup>R<sup>b</sup>), C<sub>1-8</sub>alkyl, C<sub>3-7</sub> cycloalkyl, halogen and C<sub>1-8</sub> alkoxy;

R<sup>2</sup> is selected from hydrogen, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl, heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, halogen, CN, NO<sub>2</sub>, OR<sup>a</sup>, N(R<sup>a</sup>R<sup>b</sup>), S(O)<sub>m</sub>R<sup>a</sup>, SR<sup>a</sup>, OS(O)<sub>m</sub>R<sup>a</sup>, S(O)<sub>m</sub>OR<sup>a</sup>, OS(O)<sub>m</sub>OR<sup>a</sup>, N(R<sup>a</sup>)S(O)<sub>m</sub>R<sup>b</sup>, S(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)S(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), OS(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)S(O)<sub>m</sub>OR<sup>b</sup>, C(O)R<sup>a</sup>, OC(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, OC(O)OR<sup>a</sup>, N(R<sup>a</sup>)C(O)R<sup>b</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)C(O)N(R<sup>a</sup>R<sup>b</sup>), OC(O)N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)C(O)OR<sup>b</sup>, C(NR<sup>a</sup>R<sup>b</sup>)=N(R<sup>a</sup>), N(R<sup>a</sup>)C(NR<sup>a</sup>R<sup>b</sup>)=N(R<sup>a</sup>), C(SR<sup>a</sup>)=N(R<sup>b</sup>), C(OR<sup>a</sup>)=N(R<sup>b</sup>), N(R<sup>a</sup>)C(SR<sup>a</sup>)=N(R<sup>b</sup>) and heterocycle optionally substituted with oxo or R<sup>a</sup>;

25 or optionally when R<sup>2</sup> is C<sub>5-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>5-7</sub> cycloalkenyl, C<sub>6-14</sub> aryl or heterocycle R<sup>2</sup> may be fused to 5-7 membered carbocyclic or heterocyclic rings;

R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, CN, N(R<sup>c</sup>R<sup>d</sup>), C(O)R<sup>c</sup>, C(O)C(O)R<sup>c</sup>, C(O)N(R<sup>c</sup>R<sup>d</sup>), C(O)C(O)N(R<sup>c</sup>R<sup>d</sup>), S(O)<sub>m</sub>R<sup>c</sup>, SR<sup>c</sup>, S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl or heterocycle, each of which may be optionally substituted with

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one or more substituents independently selected from the group consisting of C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl, CN, NO<sub>2</sub>, OR<sup>c</sup>, N(R<sup>c</sup>R<sup>d</sup>), S(O)<sub>m</sub>R<sup>c</sup>, SR<sup>c</sup>, OS(O)<sub>m</sub>R<sup>c</sup>, S(O)<sub>m</sub>OR<sup>c</sup>, OS(O)<sub>m</sub>OR<sup>c</sup>, N(R<sup>c</sup>)S(O)<sub>m</sub>R<sup>d</sup>, S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), OS(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>),  
 5 N(R<sup>c</sup>)S(O)<sub>m</sub>OR<sup>d</sup>, C(O)R<sup>c</sup>, OC(O)R<sup>c</sup>, C(O)OR<sup>c</sup>, OC(O)OR<sup>c</sup>, N(R<sup>c</sup>)C(O)R<sup>d</sup>, C(O)N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)C(O)N(R<sup>c</sup>R<sup>d</sup>), OC(O)N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)C(O)OR<sup>d</sup>, C(NR<sup>c</sup>R<sup>d</sup>)=N(R<sup>c</sup>), C(SR<sup>c</sup>)=N(R<sup>d</sup>), C(OR<sup>c</sup>)=N(R<sup>d</sup>) and heterocycle;

Optionally, R<sup>a</sup> and R<sup>b</sup> may be linked together through one or more ring carbon atoms  
 10 and/or ring heteroatoms including N, O, C(R<sup>c</sup>R<sup>d</sup>), C(O), S(O)<sub>m</sub>, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

R<sup>c</sup> and R<sup>d</sup> are independently hydrogen, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl or heterocycle;

15 Optionally, R<sup>c</sup> and R<sup>d</sup> may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(O) and S(O)<sub>m</sub>, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

20 R<sup>3</sup> is hydrogen, hydroxy, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, N(R<sup>a</sup>R<sup>b</sup>), or heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, halogen, oxo, CN, NO<sub>2</sub>, OR<sup>a</sup>, N(R<sup>a</sup>R<sup>b</sup>), S(O)<sub>m</sub>R<sup>a</sup>, SR<sup>a</sup>, OS(O)<sub>m</sub>R<sup>a</sup>,  
 25 S(O)<sub>m</sub>OR<sup>a</sup>, OS(O)<sub>m</sub>OR<sup>a</sup>, N(R<sup>a</sup>)S(O)<sub>m</sub>R<sup>b</sup>, S(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)S(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), OS(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)S(O)<sub>m</sub>OR<sup>b</sup>, C(O)R<sup>a</sup>, OC(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, OC(O)OR<sup>a</sup>, N(R<sup>a</sup>)C(O)R<sup>b</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)C(O)N(R<sup>a</sup>R<sup>b</sup>), OC(O)N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)C(O)OR<sup>b</sup>, C(NR<sup>a</sup>)=N(R<sup>b</sup>), C(SR<sup>a</sup>)=N(R<sup>b</sup>), C(OR<sup>a</sup>)=N(R<sup>b</sup>), N(R<sup>a</sup>)C(NR<sup>a</sup>R<sup>b</sup>)=N(R<sup>a</sup>), N(R<sup>a</sup>)C(SR<sup>a</sup>)=N(R<sup>b</sup>), N(R<sup>a</sup>)C(OR<sup>a</sup>)=N(R<sup>b</sup>), and heterocycle optionally substituted by  
 30 oxo or R<sup>a</sup>;

m is 1 or 2;

or a pharmaceutically acceptable salt thereof, provided that:

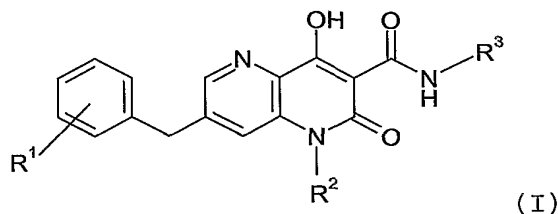
35 (a) when R<sup>1</sup> and R<sup>2</sup> are both hydrogen, then R<sup>3</sup> cannot be C<sub>1-8</sub>alkyl substituted with N(R<sup>a</sup>R<sup>b</sup>) where R<sup>a</sup> and R<sup>b</sup> are both C<sub>1-8</sub> alkyl;

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- (b) when  $R^1$  is halogen and  $R^2$  is  $C_{1-8}$  alkyl,  $C_{1-8}$  alkyl substituted with  $C(O)R^a$  where  $R^a$  is  $C_{1-8}$  alkyl, or  $R^2$  is  $C_{1-8}$  alkyl substituted with  $S(O)_mR^a$  where  $R^a$  is  $C_{1-8}$  alkyl and  $m$  is 2, then  $R^3$  cannot be  $C_{1-8}$  alkyl or  $C_{1-8}$  alkyl substituted with  $OR^a$  where  $R^a$  is  $C_{1-8}$  alkyl.

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2. A compound of formula (I)



wherein:

- 10  $R^1$  is hydrogen or halogen;  
 $R^2$  is
- (a) hydrogen;
  - (b)  $C_{1-8}$ alkyl optionally substituted with  $C_{3-7}$ cycloalkyl,  $OR^a$ ,  $N(R^aR^b)$ ,  $C(O)R^a$ ,  $C(O)N(R^aR^b)$ , or heterocycle optionally substituted with oxo or  $R^a$ ; or
  - 15 (c)  $C_{6-14}$ aryl optionally substituted with  $S(O)_mR^a$  or  $R^a$ ; wherein  $m$  is 2;
- $R^3$  is
- 20 (a)  $C_{1-8}$ alkyl optionally substituted with  $C_{1-8}$ alkyl,  $C_{3-7}$ cycloalkyl,  $OR^a$ ,  $SR^a$ ,  $C(O)N(R^aR^b)$ ,  $NR^aC(O)R^b$ , or heterocycle optionally substituted with oxo or  $R^a$ ;
  - (b)  $C_{3-7}$ cycloalkyl;
  - (c)  $C_{1-8}$ haloalkyl;
  - 25 (d) heterocycle optionally substituted with oxo; or
  - (e)  $N(R^aR^b)$ ;

wherein:  $R^a$  and  $R^b$  are independently hydrogen,  $OR^c$ ,  $SR^c$ ,  $C_{1-8}$ alkyl,  $C_{6-14}$ aryl or heterocycle, each of which each of which may be optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-8}$  alkyl,  $C_{1-8}$

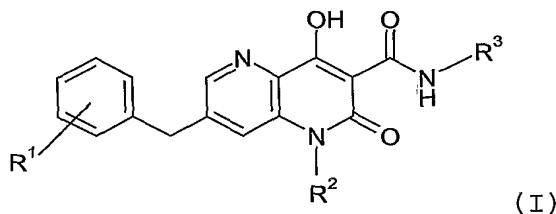
haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl, CN, NO<sub>2</sub>, OR<sup>c</sup>, N(R<sup>c</sup>R<sup>d</sup>), S(O)<sub>m</sub>R<sup>c</sup>, SR<sup>c</sup>, OS(O)<sub>m</sub>R<sup>c</sup>, S(O)<sub>m</sub>OR<sup>c</sup>, OS(O)<sub>m</sub>OR<sup>c</sup>, N(R<sup>c</sup>)S(O)<sub>m</sub>R<sup>d</sup>, S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), OS(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)S(O)<sub>m</sub>OR<sup>d</sup>, C(O)R<sup>c</sup>, OC(O)R<sup>c</sup>, C(O)OR<sup>c</sup>, OC(O)OR<sup>c</sup>, N(R<sup>c</sup>)C(O)R<sup>d</sup>,  
 5 C(O)N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)C(O)N(R<sup>c</sup>R<sup>d</sup>), OC(O)N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)C(O)OR<sup>d</sup>, C(NR<sup>c</sup>R<sup>d</sup>)=N(R<sup>c</sup>), C(SR<sup>c</sup>)=N(R<sup>d</sup>), C(OR<sup>c</sup>)=N(R<sup>d</sup>) and heterocycle;  
 wherein R<sup>c</sup> is hydrogen, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl or heterocycle;

10 R<sup>c</sup> and R<sup>d</sup> are independently hydrogen, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl or heterocycle;

or a pharmaceutically acceptable salt thereof provided that

- 15 (a) when R<sup>1</sup> and R<sup>2</sup> are both hydrogen, then R<sup>3</sup> cannot be C<sub>1-8</sub>alkyl substituted with N(R<sup>a</sup>R<sup>b</sup>) where R<sup>a</sup> and R<sup>b</sup> are both C<sub>1-8</sub> alkyl;  
 (b) when R<sup>1</sup> is halogen and R<sup>2</sup> is C<sub>1-8</sub> alkyl, C<sub>1-8</sub> alkyl substituted with C(O)R<sup>a</sup> where R<sup>a</sup> is C<sub>1-8</sub> alkyl, then R<sup>3</sup> cannot be C<sub>1-8</sub> alkyl or C<sub>1-8</sub> alkyl substituted with OR<sup>a</sup> where R<sup>a</sup> is C<sub>1-8</sub> alkyl.

20 3. A compound of formula (I)



wherein:

- 25 R<sup>1</sup> is hydrogen or halogen;  
 R<sup>2</sup> is  
 (a) hydrogen;  
 (b) C<sub>1-8</sub>alkyl optionally substituted with C<sub>3-7</sub>cycloalkyl, OR<sup>a</sup>, N(R<sup>a</sup>R<sup>b</sup>), C(O)R<sup>a</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), or heterocycle optionally substituted with oxo or R<sup>a</sup>; or

(c) C<sub>6-14</sub>aryl optionally substituted with S(O)<sub>m</sub>R<sup>a</sup> or R<sup>a</sup>; wherein m is 2;

R<sup>3</sup> is

- 5 (a) C<sub>1-8</sub>alkyl optionally substituted with C<sub>1-8</sub>alkyl, C<sub>3-7</sub>cycloalkyl, OR<sup>a</sup>, SR<sup>a</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), NR<sup>a</sup>C(O)R<sup>b</sup>, or heterocycle optionally substituted with oxo or R<sup>a</sup>;
- (b) C<sub>3-7</sub>cycloalkyl;
- (c) C<sub>1-8</sub>haloalkyl;
- 10 (d) heterocycle optionally substituted with oxo; or
- (e) N(R<sup>a</sup>R<sup>b</sup>);

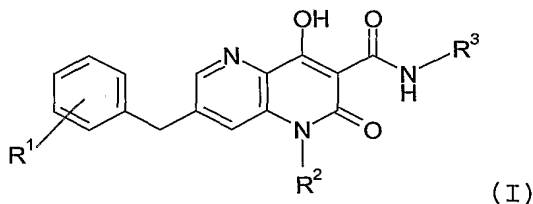
wherein R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, C(O)R<sup>c</sup>, C<sub>1-8</sub>alkyl optionally substituted with OR<sup>c</sup>, C<sub>6-14</sub>aryl or heterocycle;

- 15 wherein R<sup>c</sup> is hydrogen, C<sub>1-8</sub> alkyl or C<sub>6-14</sub>aryl ;

or a pharmaceutically acceptable salt thereof provided that

- (a) when R<sup>1</sup> and R<sup>2</sup> are both hydrogen, then R<sup>3</sup> cannot be C<sub>1-8</sub>alkyl substituted with N(R<sup>a</sup>R<sup>b</sup>) where R<sup>a</sup> and R<sup>b</sup> are both C<sub>1-8</sub> alkyl;
- 20 (b) when R<sup>1</sup> is halogen and R<sup>2</sup> is C<sub>1-8</sub> alkyl, C<sub>1-8</sub> alkyl substituted with C(O)R<sup>a</sup> where R<sup>a</sup> is C<sub>1-8</sub> alkyl, then R<sup>3</sup> cannot be C<sub>1-8</sub> alkyl or C<sub>1-8</sub> alkyl substituted with OR<sup>a</sup> where R<sup>a</sup> is C<sub>1-8</sub> alkyl;

- 25 4. A compound of formula (I)



wherein:

R<sup>1</sup> is hydrogen or halogen;

R<sup>2</sup> is

- 30 (a) hydrogen;

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(b) C<sub>1-8</sub>alkyl substituted with C<sub>3-7</sub>cycloalkyl, C(O)R<sup>a</sup> wherein R<sup>a</sup> is heterocycle, or heterocycle optionally substituted with oxo; or

(c) C<sub>6-14</sub>aralkyl optionally substituted with S(O)<sub>m</sub>R<sup>a</sup> wherein R<sup>a</sup> is C<sub>1-8</sub>alkyl and m is 2;

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R<sup>3</sup> is

(a) C<sub>1-8</sub>alkyl optionally substituted with C<sub>1-8</sub>alkyl, C<sub>3-7</sub>cycloalkyl, OR<sup>a</sup>, SR<sup>a</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), NR<sup>a</sup>C(O)R<sup>b</sup>, or heterocycle optionally substituted with oxo or R<sup>a</sup>; wherein R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, C(O)R<sup>c</sup>, C<sub>1-8</sub>alkyl optionally substituted with OR<sup>c</sup>, C<sub>6-14</sub>aryl or heterocycle;

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(b) C<sub>3-7</sub>cycloalkyl;

(c) C<sub>1-8</sub>haloalkyl;

(d) heterocycle optionally substituted with oxo; or

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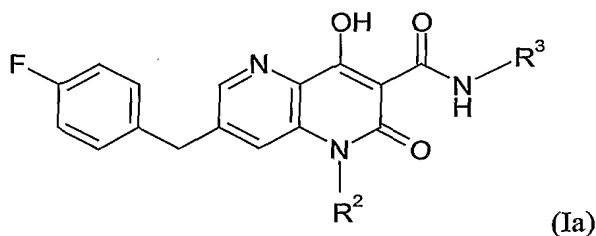
(e) N(R<sup>a</sup>R<sup>b</sup>) wherein R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, C(O)R<sup>c</sup>, C<sub>1-8</sub>alkyl optionally substituted with OR<sup>c</sup>, C<sub>6-14</sub>aryl or heterocycle;

wherein R<sup>c</sup> is hydrogen, C<sub>1-8</sub> alkyl or C<sub>6-14</sub>aryl ;

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or a pharmaceutically acceptable salt thereof .

5. A compound of formula (Ia)



(Ia)

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wherein:

R<sup>2</sup> is selected from hydrogen, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl, heterocycle, each of which

- may be optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, halogen, CN, NO<sub>2</sub>, OR<sup>a</sup>, N(R<sup>a</sup>R<sup>b</sup>), S(O)<sub>m</sub>R<sup>a</sup>, SR<sup>a</sup>, OS(O)<sub>m</sub>R<sup>a</sup>, S(O)<sub>m</sub>OR<sup>a</sup>, OS(O)<sub>m</sub>OR<sup>a</sup>, N(R<sup>a</sup>)S(O)<sub>m</sub>R<sup>b</sup>, S(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>),
- 5 N(R<sup>a</sup>)S(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), OS(O)<sub>m</sub>N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)S(O)<sub>m</sub>OR<sup>b</sup>, C(O)R<sup>a</sup>, OC(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, OC(O)OR<sup>a</sup>, N(R<sup>a</sup>)C(O)R<sup>b</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)C(O)N(R<sup>a</sup>R<sup>b</sup>), OC(O)N(R<sup>a</sup>R<sup>b</sup>), N(R<sup>a</sup>)C(O)OR<sup>b</sup>, C(NR<sup>a</sup>R<sup>b</sup>)=N(R<sup>a</sup>), N(R<sup>a</sup>)C(NR<sup>a</sup>R<sup>b</sup>)=N(R<sup>a</sup>), C(SR<sup>a</sup>)=N(R<sup>b</sup>), C(OR<sup>a</sup>)=N(R<sup>b</sup>), N(R<sup>a</sup>)C(SR<sup>a</sup>)=N(R<sup>b</sup>) and heterocycle optionally substituted with oxo or R<sup>a</sup>;
- 10 or optionally when R<sup>2</sup> is C<sub>5-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>5-7</sub> cycloalkenyl, C<sub>6-14</sub> aryl or heterocycle R<sup>2</sup> may be fused to 5-7 membered carbocyclic or heterocyclic rings;

- R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, CN, N(R<sup>c</sup>R<sup>d</sup>), C(O)R<sup>c</sup>, C(O)C(O)R<sup>c</sup>, C(O)N(R<sup>c</sup>R<sup>d</sup>), C(O)C(O)N(R<sup>c</sup>R<sup>d</sup>), S(O)<sub>m</sub>R<sup>c</sup>, SR<sup>c</sup>, S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl or heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl, CN, NO<sub>2</sub>, OR<sup>c</sup>, N(R<sup>c</sup>R<sup>d</sup>), S(O)<sub>m</sub>R<sup>c</sup>, SR<sup>c</sup>, OS(O)<sub>m</sub>R<sup>c</sup>, S(O)<sub>m</sub>OR<sup>c</sup>,
- 15 OS(O)<sub>m</sub>OR<sup>c</sup>, N(R<sup>c</sup>)S(O)<sub>m</sub>R<sup>d</sup>, S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)S(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), OS(O)<sub>m</sub>N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)S(O)<sub>m</sub>OR<sup>d</sup>, C(O)R<sup>c</sup>, OC(O)R<sup>c</sup>, C(O)OR<sup>c</sup>, OC(O)OR<sup>c</sup>, N(R<sup>c</sup>)C(O)R<sup>d</sup>, C(O)N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)C(O)N(R<sup>c</sup>R<sup>d</sup>), OC(O)N(R<sup>c</sup>R<sup>d</sup>), N(R<sup>c</sup>)C(O)OR<sup>d</sup>, C(NR<sup>c</sup>R<sup>d</sup>)=N(R<sup>c</sup>), C(SR<sup>c</sup>)=N(R<sup>d</sup>), C(OR<sup>c</sup>)=N(R<sup>d</sup>) and heterocycle;
- 20

- 25 Optionally, R<sup>a</sup> and R<sup>b</sup> may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(R<sup>c</sup>R<sup>d</sup>), C(O), S(O)<sub>m</sub>, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

- R<sup>c</sup> and R<sup>d</sup> are independently hydrogen, C<sub>1-8</sub> alkyl, C<sub>1-8</sub> haloalkyl, C<sub>3-7</sub> cycloalkyl, C<sub>6-14</sub> aralkyl, C<sub>2-6</sub> alkenyl, C<sub>3-7</sub> cycloalkenyl, C<sub>3-6</sub> alkynyl, C<sub>6-14</sub> aryl or heterocycle;
- 30

Optionally, R<sup>c</sup> and R<sup>d</sup> may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(O) and S(O)<sub>m</sub>, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

- $R^3$  is hydrogen, hydroxy,  $C_{1-8}$  alkyl,  $C_{1-8}$  haloalkyl,  $C_{3-7}$  cycloalkyl,  $C_{2-6}$  alkenyl,  $C_{3-7}$  cycloalkenyl,  $C_{3-6}$  alkynyl,  $N(R^aR^b)$ , or heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-8}$  alkyl,  $C_{1-8}$  haloalkyl,  $C_{3-7}$  cycloalkyl,  $C_{2-6}$  alkenyl,  $C_{3-7}$  cycloalkenyl,  $C_{3-6}$  alkynyl, halogen, oxo, CN,  $NO_2$ ,  $OR^a$ ,  $N(R^aR^b)$ ,  $S(O)_mR^a$ ,  $SR^a$ ,  $OS(O)_mR^a$ ,  $S(O)_mOR^a$ ,  $OS(O)_mOR^a$ ,  $N(R^a)S(O)_mR^b$ ,  $S(O)_mN(R^aR^b)$ ,  $N(R^a)S(O)_mN(R^aR^b)$ ,  $OS(O)_mN(R^aR^b)$ ,  $N(R^a)S(O)_mOR^b$ ,  $C(O)R^a$ ,  $OC(O)R^a$ ,  $C(O)OR^a$ ,  $OC(O)OR^a$ ,  $N(R^a)C(O)R^b$ ,  $C(O)N(R^aR^b)$ ,  $N(R^a)C(O)N(R^aR^b)$ ,  $OC(O)N(R^aR^b)$ ,  $N(R^a)C(O)OR^b$ ,  $C(NR^a)=N(R^b)$ ,  $C(SR^a)=N(R^b)$ ,  $C(OR^a)=N(R^b)$ ,  $N(R^a)C(NR^aR^b)=N(R^a)$ ,  $N(R^a)C(SR^a)=N(R^b)$ ,  $N(R^a)C(OR^a)=N(R^b)$ , and heterocycle optionally substituted by oxo or  $R^a$ ;

$m$  is 1 or 2;

- or a pharmaceutically acceptable salt thereof, provided that:  
 when  $R^2$  is  $C_{1-8}$  alkyl,  $C_{1-8}$  alkyl substituted with  $C(O)R^a$  where  $R^a$  is  $C_{1-8}$  alkyl, or  $R^2$  is  $C_{1-8}$  alkyl substituted with  $S(O)_mR^a$  where  $R^a$  is  $C_{1-8}$  alkyl and  $m$  is 2, then  $R^3$  cannot be  $C_{1-8}$  alkyl or  $C_{1-8}$  alkyl substituted with  $OR^a$  where  $R^a$  is  $C_{1-8}$  alkyl.

6. A compound of formula (Ia) according to claim 5 wherein:

$R^2$  is

- (a) hydrogen;  
 (b)  $C_{1-8}$ alkyl optionally substituted with  $C_{3-7}$ cycloalkyl,  $OR^a$ ,  $N(R^aR^b)$ ,  $C(O)R^a$ ,  $C(O)N(R^aR^b)$ , or heterocycle optionally substituted with oxo or  $R^a$ ; or  
 (c)  $C_{6-14}$ aralkyl optionally substituted with  $S(O)_mR^a$  or  $R^a$ ; wherein  $m$  is 2;

$R^3$  is

- (a)  $C_{1-8}$ alkyl optionally substituted with  $C_{1-8}$ alkyl,  $C_{3-7}$ cycloalkyl,  $OR^a$ ,  $SR^a$ ,  $C(O)N(R^aR^b)$ ,  $NR^aC(O)R^b$ , or heterocycle optionally substituted with oxo or  $R^a$ ;  
 (b)  $C_{3-7}$ cycloalkyl;  
 (c)  $C_{1-8}$ haloalkyl;



- (d) heterocycle optionally substituted with oxo; or  
 (e)  $N(R^a R^b)$ ;

wherein  $R^a$  and  $R^b$  are independently hydrogen,  $OR^c$ ,  $SR^c$ ,  $C_{1-8}$ alkyl,  $C_{6-14}$ aryl or  
 5 heterocycle, each of which each of which may be optionally substituted with one or  
 more substituents independently selected from the group consisting of  $C_{1-8}$  alkyl,  $C_{1-8}$   
 haloalkyl,  $C_{3-7}$  cycloalkyl,  $C_{6-14}$  aralkyl,  $C_{2-6}$  alkenyl,  $C_{3-7}$  cycloalkenyl,  $C_{3-6}$  alkynyl,  
 $C_{6-14}$  aryl, CN,  $NO_2$ ,  $OR^c$ ,  $N(R^c R^d)$ ,  $S(O)_m R^c$ ,  $SR^c$ ,  $OS(O)_m R^c$ ,  $S(O)_m OR^c$ ,  
 $OS(O)_m OR^c$ ,  $N(R^c)S(O)_m R^d$ ,  $S(O)_m N(R^c R^d)$ ,  $N(R^c)S(O)_m N(R^c R^d)$ ,  $OS(O)_m N(R^c R^d)$ ,  
 10  $N(R^c)S(O)_m OR^d$ ,  $C(O)R^c$ ,  $OC(O)R^c$ ,  $C(O)OR^c$ ,  $OC(O)OR^c$ ,  $N(R^c)C(O)R^d$ ,  
 $C(O)N(R^c R^d)$ ,  $N(R^c)C(O)N(R^c R^d)$ ,  $OC(O)N(R^c R^d)$ ,  $N(R^c)C(O)OR^d$ ,  
 $C(NR^c R^d)=N(R^c)$ ,  $C(SR^c)=N(R^d)$ ,  $C(OR^c)=N(R^d)$  and heterocycle;  
 wherein  $R^c$  is hydrogen,  $C_{1-8}$  alkyl,  $C_{1-8}$  haloalkyl,  $C_{3-7}$  cycloalkyl,  $C_{6-14}$  aralkyl,  $C_{2-6}$   
 15 alkenyl,  $C_{3-7}$  cycloalkenyl,  $C_{3-6}$  alkynyl,  $C_{6-14}$  aryl or heterocycle;

$R^c$  and  $R^d$  are independently hydrogen,  $C_{1-8}$  alkyl,  $C_{1-8}$  haloalkyl,  $C_{3-7}$  cycloalkyl,  $C_{6-14}$   
 aralkyl,  $C_{2-6}$  alkenyl,  $C_{3-7}$  cycloalkenyl,  $C_{3-6}$  alkynyl,  $C_{6-14}$  aryl or heterocycle;

or a pharmaceutically acceptable salt thereof provided that

20 when  $R^2$  is  $C_{1-8}$  alkyl,  $C_{1-8}$  alkyl substituted with  $C(O)R^a$  where  $R^a$  is  $C_{1-8}$  alkyl,  
 then  $R^3$  cannot be  $C_{1-8}$  alkyl or  $C_{1-8}$  alkyl substituted with  $OR^a$  where  $R^a$  is  $C_{1-8}$   
 alkyl.

7. A compound of formula (Ia) according to claim 5 wherein:

25  $R^2$  is

- (a) hydrogen;  
 (b)  $C_{1-8}$ alkyl optionally substituted with  $C_{3-7}$ cycloalkyl,  $OR^a$ ,  $N(R^a R^b)$ ,  
 $C(O)R^a$ ,  $C(O)N(R^a R^b)$ , or heterocycle optionally substituted with oxo  
 or  $R^a$ ; or  
 30 (c)  $C_{6-14}$ aralkyl optionally substituted with  $S(O)_m R^a$  or  $R^a$ ; wherein m  
 is 2;

$R^3$  is

- (a) C<sub>1-8</sub>alkyl optionally substituted with C<sub>1-8</sub>alkyl, C<sub>3-7</sub>cycloalkyl, OR<sup>a</sup>, SR<sup>a</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), NR<sup>a</sup>C(O)R<sup>b</sup>, or heterocycle optionally substituted with oxo or R<sup>a</sup>;
- (b) C<sub>3-7</sub>cycloalkyl;
- 5 (c) C<sub>1-8</sub>haloalkyl;
- (d) heterocycle optionally substituted with oxo; or
- (e) N(R<sup>a</sup>R<sup>b</sup>);

wherein R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, C(O)R<sup>c</sup>, C<sub>1-8</sub>alkyl optionally substituted with OR<sup>c</sup>, C<sub>6-14</sub>aryl or heterocycle;

10

wherein R<sup>c</sup> is hydrogen, C<sub>1-8</sub>alkyl or C<sub>6-14</sub>aryl;

or a pharmaceutically acceptable salt thereof provided that

- when R<sup>2</sup> is C<sub>1-8</sub>alkyl, C<sub>1-8</sub>alkyl substituted with C(O)R<sup>a</sup> where R<sup>a</sup> is C<sub>1-8</sub>alkyl,
- 15 then R<sup>3</sup> cannot be C<sub>1-8</sub>alkyl or C<sub>1-8</sub>alkyl substituted with OR<sup>a</sup> where R<sup>a</sup> is C<sub>1-8</sub>alkyl.

8. A compound of formula (Ia) according to claim 5 wherein:

R<sup>2</sup> is

20

- (a) hydrogen;
- (b) C<sub>1-8</sub>alkyl substituted with C<sub>3-7</sub>cycloalkyl, C(O)R<sup>a</sup> wherein R<sup>a</sup> is heterocycle, or heterocycle optionally substituted with oxo; or
- (c) C<sub>6-14</sub>aryl optionally substituted with S(O)<sub>m</sub>R<sup>a</sup> wherein R<sup>a</sup> is C<sub>1-8</sub>alkyl and m is 2;

25

R<sup>3</sup> is

- (a) C<sub>1-8</sub>alkyl optionally substituted with C<sub>1-8</sub>alkyl, C<sub>3-7</sub>cycloalkyl, OR<sup>a</sup>, SR<sup>a</sup>, C(O)N(R<sup>a</sup>R<sup>b</sup>), NR<sup>a</sup>C(O)R<sup>b</sup>, or heterocycle optionally substituted with oxo or R<sup>a</sup>; wherein R<sup>a</sup> and R<sup>b</sup> are independently hydrogen, NO<sub>2</sub>, OR<sup>c</sup>, C(O)R<sup>c</sup>, C<sub>1-8</sub>alkyl optionally substituted with OR<sup>c</sup>, C<sub>6-14</sub>aryl or heterocycle;
- 30 (b) C<sub>3-7</sub>cycloalkyl;
- (c) C<sub>1-8</sub>haloalkyl;
- (d) heterocycle optionally substituted with oxo; or

(e)  $N(R^aR^b)$  wherein  $R^a$  and  $R^b$  are independently hydrogen,  $NO_2$ ,  $OR^c$ ,  $C(O)R^c$ ,  $C_{1-8}$ alkyl optionally substituted with  $OR^c$ ,  $C_{6-14}$ aryl or heterocycle;

wherein  $R^c$  is hydrogen,  $C_{1-8}$ alkyl or  $C_{6-14}$ aryl;

5

or a pharmaceutically acceptable salt thereof.

9. A compound of formula (I) according to claim 1 wherein  $R^1$  is one or more substituents independently selected from hydroxy, CN,  $N(R^aR^b)$ ,  $C_{1-8}$ alkyl,  $C_{3-7}$ cycloalkyl, halogen and  $C_{1-8}$ alkoxy; or a pharmaceutically acceptable salt thereof.

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10. A compound of formula (Ia) according to any of claims 5 – 7 wherein  $R^2$  is  $C_{1-8}$ alkyl optionally substituted with  $C(O)N(R^aR^b)$ , wherein  $R^a$  and  $R^b$  are independently hydrogen or  $C_{1-8}$ alkyl and  $R^3$  is  $C_{1-8}$ alkyl optionally substituted with  $OR^a$ , wherein  $OR^a$  is hydrogen or  $C_{1-8}$ alkyl, or a pharmaceutically acceptable salt thereof.

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11. A compound selected from the group consisting of:

7-(4-fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-benzyl-*N*-(cyclopropylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

20

7-Benzyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-*N*,4-dihydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

*N*-Cyclopropyl-7-(4-fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-

25

yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-morpholin-4-ylethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30

4-Hydroxy-*N*-(2-methylpropyl)-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

*N*-Cycloheptyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- N*-Cyclopentyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- N*-Cyclobutyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 5 4-Hydroxy-*N*-[2-(methyloxy)ethyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 4-Hydroxy-2-oxo-*N*-(2-phenylethyl)-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 4-Hydroxy-2-oxo-*N*-(1-phenylethyl)-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 10 *N*-(Cyclohexylmethyl)-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- N*-(2-Furanylmethyl)-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 15 *N*-Cyclohexyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 4-Hydroxy-2-oxo-7-(phenylmethyl)-*N*-(2-thienylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- N*-Cyclopropyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 20 *N*-Cyclobutyl-7-[(4-fluorophenyl)methyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- N*-Cyclopropyl-7-[(4-fluorophenyl)methyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 25 7-[(4-Fluorophenyl)methyl]-*N*-(2-furanylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[3-(2-oxo-1-pyrrolidinyl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[2-(1-pyrrolidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 30 (±)-7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-(tetrahydro-2-furanylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[2-(1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-(4-pyridinylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 5 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-(2-pyridinylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-(3-pyridinylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-*N*-(hexahydro-1*H*-azepin-1-yl)-4-hydroxy-2-oxo-1,2-
- 10 dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[2-(4-morpholinyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(5-Fluoro-2-pyridinyl)methyl]-4-hydroxy-*N*-[3-(4-morpholinyl)propyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 15 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[2-(2-pyridinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[2-(1*H*-imidazol-4-yl)ethyl]-2-oxo-1,2-
- 20 dihydro-1,5-naphthyridine-3-carboxamide;
- Benzyl-*N*-cyclobutyl-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-*N*-cyclopropyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 25 7-Benzyl-*N*-cyclobutyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-*N*-(2-furylmethyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-
- 30 dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-*N*-cyclopropyl-4-hydroxy-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 5 7-Benzyl-4-hydroxy-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-1-(cyclopropylmethyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 10 1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-*N*-cyclobutyl-1-(cyclopropylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide ;
- 7-Benzyl-*N*-cyclobutyl-4-hydroxy-1-(2-morpholin-4-ylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 15 7-Benzyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-*N*-(3-morpholin-4-ylpropyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(2-pyrrolidin-1-ylethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-Benzyl-*N*-cyclobutyl-4-hydroxy-2-oxo-1-(1,3-thiazol-2-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 20 naphthyridine-3-carboxamide;
- 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-(1,3-thiazol-2-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 25 7-(4-Fluorobenzyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-(4-Fluorobenzyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(pyridin-3-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-(4-Fluorobenzyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-*N*-(2-morpholin-4-ylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 30 *N*-(2-Furanylmethyl)-4-hydroxy-1-[4-(nitrophenyl)methyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 4-Hydroxy-*N*-[2-(methyloxy)ethyl]-1-[(4-nitrophenyl)methyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
*N*-Cyclobutyl-4-hydroxy-1-[(4-nitrophenyl)methyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 5 1-[(4-Aminophenyl)methyl]-*N*-cyclobutyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
and pharmaceutically acceptable salts thereof.
12. A compound selected from the group consisting of:
- 10 7-(4-fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
*N*-Cyclopropyl-7-(4-fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-morpholin-4-ylethyl)-2-oxo-1-[2-(2-
- 15 oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
4-Hydroxy-*N*-[2-(methyloxy)ethyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 20 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[3-(2-oxo-1-pyrrolidinyl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[2-(4-morpholinyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
7-[(5-Fluoro-2-pyridinyl)methyl]-4-hydroxy-*N*-[3-(4-morpholinyl)propyl]-2-oxo-1,2-
- 25 dihydro-1,5-naphthyridine-3-carboxamide;  
7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 30 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-1-(cyclopropylmethyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-(1,3-thiazol-2-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 5 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
and pharmaceutically acceptable salts thereof.

13. A compound selected from the group consisting of:

- 10 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide sodium salt;  
1-[2-(Dimethylamino)-2-oxoethyl]-7-(4-fluorobenzyl)-4-hydroxy-*N*-methyl-2-oxo-  
15 1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
Sodium 1-[2-(Dimethylamino)-2-oxoethyl]-7-(4-fluorobenzyl)-3-[(methylamino)carbonyl]-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;  
7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-[2-(methylamino)-2-oxoethyl]-*N*-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
20 1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
Sodium 1-[2-(dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-3-({[2-(methyloxy)ethyl]amino}carbonyl)-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;  
7-(4-Fluorobenzyl)-4-hydroxy-*N*-[(2*R*)-2-hydroxypropyl]-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
25 Sodium 7-[(4-fluorophenyl)methyl]-3-({[(2*R*)-2-hydroxypropyl]amino}carbonyl)-2-oxo-1-[2-(2-oxo-1-pyrrolidinyl)ethyl]-1,2-dihydro-1,5-naphthyridin-4-olate;  
7-(4-Fluorobenzyl)-4-hydroxy-*N*-[(2*S*)-2-hydroxypropyl]-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
30 1-(2-Amino-2-oxoethyl)-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;



- 1-(4-Fluorophenyl)-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 Sodium 1-(4-fluorophenyl)-7-[(4-fluorophenyl)methyl]-3-{[(2-hydroxyethyl)amino]carbonyl}-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;  
 5 *N*-[(2*R*)-2,3-Dihydroxypropyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-*N*-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 10 Sodium 7-[(4-fluorophenyl)methyl]-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-3-({[2-(methyloxy)ethyl]amino}carbonyl)-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;  
 1-Ethyl-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[(1*S*)-2-hydroxy-1-methylethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 15 Sodium 1-ethyl-7-[(4-fluorophenyl)methyl]-3-({[(1*S*)-2-hydroxy-1-methylethyl]amino}carbonyl)-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate; and  
 pharmaceutically acceptable salts thereof.
14. A compound selected from the group consisting of:
- 20 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[2-(methyloxy)ethyl]-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 25 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-hydroxy-1-methylethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-hydroxypropyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
 30 *N*-[2-(Ethoxy)ethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-methyl-2-oxo-*N*-[2-(2-oxo-1-imidazolidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-methyl-*N*-{2-[methyl(methylsulfonyl)amino]ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 5 (±)-1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxy-1-methylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-1-(3-hydroxypropyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 10 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-(3-hydroxypropyl)-*N*-{2-[methyl(methylsulfonyl)amino]ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxy-1,1-dimethylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 15 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-(3-hydroxypropyl)-*N*-{2-[(1-methylethyl)sulfonyl]ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 1-[2-(Cyclopropylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 1-{2-[(Dimethylamino)carbonyl](methyl)amino]ethyl}-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 20 7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxy-1-methylethyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 25 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-oxo-2-(1,3-thiazolidin-3-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(3-hydroxypropyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[(2*S*)-2-hydroxypropyl]-1-[3-(methyloxy)propyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
- 30 7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(3-hydroxybutyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-1-{2-[(2-methoxyethyl)amino]-2-oxoethyl}-*N*-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-2-oxo-1-[3-(2-oxo-1-piperidiny)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 5 7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(3-hydroxypropyl)-2-oxo-1-[3-(2-oxohexahydro-1*H*-azepin-1-yl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[(1*S*)-2-hydroxy-1-methylethyl]-2-oxo-1-[3-(2-oxohexahydro-1*H*-azepin-1-yl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

- 10 7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-2-oxo-1-[3-(2-oxohexahydro-1*H*-azepin-1-yl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;  
and pharmaceutically acceptable salts thereof.

- 15 15. A compound selected from the group consisting of examples numbers 2, 9, 10, 12, 17, 28, 36, 37, 45, 49, 50, 54, 62, 64, 83, 84, 85, 86, 89, 91, 93, 94, 95, 96, 97, 98, 99, 101, 102, 104, 105, 106, 107, 237 and pharmaceutically acceptable salts thereof.

16. A compound selected from the group consisting of example numbers 73, 114, 116, 122, 125, 145, 146, 148, 149, 153, 154, 155, 156, 162, 168, 169, 170, 173, 180, 20 185, 186, 188, 189, 190, 203, 206, 208, 209, 210, 227, 231, 234, 237, 245, 253, 260, 261, 262, 279, 292, 296, 297, 301, 302, 310, 327, 339, 340, 343, 359, 360, 363, 366, 367, 377, 380, 381, 382, 383, 394, 408, 409, 410, 411, 428, 429, 431, 434, 463, 465, 471, 472, 473, 476, 477, 484, 495, 515, 516, 519, 521, 522, 524, 525, 528, 535, 548, 549, 554, 557, 564, 566, 568, 569, 574, 576, 577, 579, 580, 581, 582, 583, 584, 588, 25 589, 591, 593, 595, 596, 598, 599, 601, 602, 603, 604, 624, 626, 627, 628, 629, 631, 633, 634, 636, 637, 638, 642, 646, 657, 660, 662, 663, 665, 669, 671, 673, 674, 677, 680, 681, 684, 688, 690, 691, 693, 694, 696, 697, 698 and pharmaceutically acceptable salts thereof.

- 30 17. A compound selected from the group consisting of example numbers 12, 36, 37, 49, 84, 89, 91, 93, 95, 96, 101, 237 and pharmaceutically acceptable salts thereof.

18. A compound selected from 7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide; 1-[2-(Dimethylamino)-2-oxoethyl]-7-(4-fluorobenzyl)-4-hydroxy-*N*-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide; and pharmaceutically acceptable salts  
5 thereof.
19. A compound according to any of claims 1 – 18 wherein the pharmaceutically acceptable salt is a sodium salt.
20. A method of treatment of a viral infection in a human comprising  
10 administering to said human an antiviral effective amount of a compound according to any of claims 1 to 18.
21. A method according to claim 20 wherein the viral infection is a HIV infection.
- 15 22. A compound as claimed in any of claims 1 to 18 for use in medical therapy.
23. Use of a compound as claimed in any of claims 1 to 18 in the manufacture of a medicament for the treatment or prophylaxis of a virus infection.
- 20 24. The use according to claim 23 wherein the viral infection is a HIV infection.
25. A pharmaceutical composition comprising an effective amount of a compound according to any of claims 1 to 18 together with a pharmaceutically acceptable carrier.  
25
26. A pharmaceutical composition according to claim 25 in the form of a tablet or capsule.
27. A pharmaceutical composition according to claim 25 in the form of a liquid or  
30 suspension.

28. A method of treatment of a viral infection in a human comprising administering to said human a composition comprising a compound according to any of claims 1 to 18 and another therapeutic agent.

5 29. The method according to claim 28 wherein the viral infection is an HIV infection.

30. A composition according to claim 25, wherein said composition comprises at least one additional therapeutic agent selected from the group consisting of (1-alpha,  
 10 2-beta, 3-alpha)-9-[2,3-bis(hydroxymethyl)cyclobutyl]guanine [(-)BHCG, SQ-34514, lobucavir], 9-[(2R,3R,4S)-3,4-bis(hydroxymethyl)-2-oxetanosyl]adenine (oxetanocin-G), TMC-114, BMS-232632, acyclic nucleosides [e.g. acyclovir, valaciclovir, famciclovir, ganciclovir, penciclovir], acyclic nucleoside phosphonates [e.g. (S)-1-(3-hydroxy-2-phosphonyl-methoxypropyl)cytosine (HPMPC), [[2-(6-amino-9H-purin-  
 15 9-yl)ethoxy]methyl]phosphinylidene]bis(oxymethylene)-2,2-dimethylpropanoic acid (bis-POM PMEAs, adefovir dipivoxil), [(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid (tenofovir), (R)-[[2-(6-Amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid bis-(isopropoxycarbonyloxymethyl)ester (bis-POC-PPMA)], ribonucleotide reductase inhibitors (e.g. 2-acetylpyridine 5-[(2-chloroanilino)thiocarbonyl] thiocarbonohydrazide and hydroxyurea), nucleoside  
 20 reverse transcriptase inhibitors (e.g. , 3'-azido-3'-deoxythymidine (AZT, zidovudine), 2',3'-dideoxycytidine (ddC, zalcitabine), 2',3'-dideoxyadenosine, 2',3'-dideoxyinosine (ddI, didanosine), 2',3'-didehydrothymidine (d4T, stavudine), (-)-beta-D-2,6-diaminopurine dioxolane (DAPD), 3'-Azido-2',3'-dideoxythymidine-5'-H-phosphophosphate (phosphonovir), 2'-deoxy-5-iodo-uridine (idoxuridine), as (-)-cis-1-(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-cytosine (lamivudine), or cis-1-(2-(hydroxymethyl)-1,3-oxathiolan-5-yl)-5-fluorocytosine (FTC), 3'-deoxy-3'-fluorothymidine, 5-chloro-2',3'-dideoxy-3'-fluorouridine, (-)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (abacavir), , 9-[4-  
 30 hydroxy-2-(hydroxymethyl)but-1-yl]-guanine (H2G), ABT-606 (2HM-H2G) and ribavirin), protease inhibitors (e.g. indinavir, ritonavir, nelfinavir, amprenavir, saquinavir, (R)-N-tert-butyl-3-[(2S,3S)-2-hydroxy-3-N-[(R)-2-N-(isoquinolin-5-

- yloxyacetyl)amino-3-methylthiopropionyl]amino-4-phenylbutanoyl]-5,5- dimethyl-  
 1,3-thiazolidine-4-carboxamide (KNI-272), 4R-(4 $\alpha$ ,5 $\alpha$ ,6 $\beta$ )]-1,3-bis[(3-  
 aminophenyl)methyl]hexahydro-5,6-dihydroxy-4,7-bis(phenylmethyl)-2H-1,3-  
 diazepin-2-one dimethanesulfonate (mozenavir), 3-[1-[3-[2-(5-  
 5 trifluoromethylpyridinyl)-sulfonylamino]phenyl]propyl]-4- hydroxy-6 $\alpha$ -  
 phenethyl-6 $\beta$ -propyl-5,6-dihydro-2-pyranone (tipranavir), N'-[2(S)-Hydroxy-3(S)-  
 [N-(methoxycarbonyl)-1-tert-leucylamino]-4- phenylbutyl-N <sup>$\alpha$</sup> -(methoxycarbonyl)-  
 N'-[4-(2-pyridyl)benzyl]-L- tert-leucylhydrazide (BMS-232632), 3-(2(S)-Hydroxy-  
 3(S)-(3-hydroxy-2-methylbenzamido)-4-phenylbutanoyl)-5,5-dimethyl-N-(2-  
 10 methylbenzyl)thiazolidine-4(R)-carboxamide (AG-1776), N-(2(R)-Hydroxy-1(S)-  
 indanyl)-2(R)-phenyl-methyl-4(S)-hydroxy-5-(1-(1-(4-benzo[b]furanylmethyl)-2(S)-  
 N'-(tert-butylcarboxamido)piperazinyl)pentanamide (MK-944A), and GW 433908),  
 interferons such as  $\alpha$ -interferon, renal excretion inhibitors such as probenecid,  
 nucleoside transport inhibitors such as dipyridamole; pentoxifylline, N-acetylcysteine  
 15 (NAC), Procysteine,  $\alpha$  -trichosanthin, phosphonoformic acid, as well as  
 immunomodulators such as interleukin II or thymosin, granulocyte macrophage  
 colony stimulating factors, erythropoietin, soluble CD<sub>4</sub> and genetically engineered  
 derivatives thereof, non-nucleoside reverse transcriptase inhibitors (NNRTIs) for  
 example, TMC-120, TMC-125, nevirapine (BI-RG-587), alpha-((2-acetyl-5-  
 20 methylphenyl)amino)-2,6-dichloro-benzeneacetamide (loviride), 1-[3-  
 (isopropylamino)-2-pyridyl]-4-[5-(methanesulfonamido)-1H-indol-2-  
 ylcarbonyl]piperazine monomethanesulfonate (delavirdine), (10R, 11S, 12S)-12-  
 Hydroxy-6, 6, 10, 11-tetramethyl-4-propyl-11,12-dihydro-2H, 6H, 10H-benzo(1, 2-  
 b:3, 4-b':5, 6-b'')tripyrane-2-one ((+) calanolide A), (4S)-6-Chloro-4-[1E)-  
 25 cyclopropylethenyl)-3,4- dihydro-4-(trifluoromethyl)-2(1H)-quinazolinone (DPC-  
 083), 1-(ethoxymethyl)-5-(1-methylethyl)-6-(phenylmethyl)-2,4(1H,3H)-  
 pyrimidinedione (MKC-442), 5-(3,5-dichlorophenyl)thio-4-isopropyl-1-(4-  
 pyridyl)methyl-1H-imidazol-2-ylmethyl carbamate (capravirine), glycoprotein 120  
 antagonists [e.g. PRO-2000, PRO-542 and 1,4-bis[3-[(2, 4-  
 30 dichlorophenyl)carbonylamino]-2-oxo-5,8-disodiumsulfanyl]naphthalyl-2, 5-  
 dimethoxyphenyl-1, 4-dihydrazone (FP-21399)], cytokine antagonists [e.g. reticulose  
 (Product-R), 1,1'-azobis-formamide (ADA), and 1,11-(1,4-

phenylenebis(methylene))bis-1,4,8,11-tetraazacyclotetradecane octahydrochloride (AMD-3100)], and fusion inhibitors for example T-20 and T-124.

31. A method according to claim 28, wherein said therapeutic agent is selected
- 5 from the group consisting of (1-alpha, 2-beta, 3-alpha)-9-[2,3-bis(hydroxymethyl)cyclobutyl]guanine [(-)BHCG, SQ-34514, lobucavir], 9-[(2R,3R,4S)-3,4-bis(hydroxymethyl)-2-oxetanosyl]adenine (oxetanocin-G), acyclic nucleosides [e.g. acyclovir, valaciclovir, famciclovir, ganciclovir, penciclovir], acyclic nucleoside phosphonates [e.g. (S)-1-(3-hydroxy-2-phosphonyl-
- 10 methoxypropyl)cytosine (HPMPC), [[[2-(6-amino-9H-purin-9-yl)ethoxy]methyl]phosphinylidene]bis(oxyethylene)-2,2-dimethylpropanoic acid (bis-POM PMEA, adefovir dipivoxil), [(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid (tenofovir), (R)-[[2-(6-Amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid bis-(isopropoxycarbonyloxymethyl)ester
- 15 (bis-POC-PMMA)], ribonucleotide reductase inhibitors (e.g. 2-acetylpyridine 5-[(2-chloroanilino)thiocarbonyl] thiocarbonohydrazone and hydroxyurea), nucleoside reverse transcriptase inhibitors (e.g. , 3'-azido-3'-deoxythymidine (AZT, zidovudine), 2',3'-dideoxycytidine (ddC, zalcitabine), 2',3'-dideoxyadenosine, 2',3'-dideoxyinosine (ddI, didanosine), 2',3'-didehydrothymidine (d4T, stavudine), (-)-
- 20 beta-D-2,6-diaminopurine dioxolane (DAPD), 3'-Azido-2',3'-dideoxythymidine-5'-H-phosphophosphate (phosphonovir), 2'-deoxy-5-iodo-uridine (idoxuridine), as (-)-cis-1-(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-cytosine (lamivudine), or cis-1-(2-(hydroxymethyl)-1,3-oxathiolan-5-yl)-5-fluorocytosine (FTC), 3'-deoxy-3'-fluorothymidine, 5-chloro-2',3'-dideoxy-3'-fluorouridine, (-)-cis-4-[2-amino-6-
- 25 (cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (abacavir), , 9-[4-hydroxy-2-(hydroxymethyl)but-1-yl]-guanine (H2G), ABT-606 (2HM-H2G) and ribavirin), protease inhibitors (e.g. indinavir, ritonavir, nelfinavir, amprenavir, saquinavir, (R)-N-tert-butyl-3-[(2S,3S)-2-hydroxy-3-N-[(R)-2-N-(isoquinolin-5-yloxyacetyl)amino-3-methylthiopropionyl]amino-4-phenylbutanoyl]-5,5- dimethyl-
- 30 1,3-thiazolidine-4-carboxamide (KNI-272), 4R-(4alpha,5alpha,6beta)]-1,3-bis[(3-aminophenyl)methyl]hexahydro-5,6-dihydroxy-4,7-bis(phenylmethyl)-2H-1,3-diazepin-2-one dimethanesulfonate (mozenavir), 3-[1-[3-[2-(5-

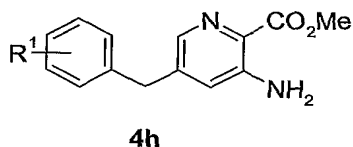
trifluoromethylpyridinyl)-sulfonylamino]phenyl]propyl]-4- hydroxy-6 $\alpha$ -phenethyl-6 $\beta$ -propyl-5,6-dihydro-2-pyranone (tipranavir), N'-[2(S)-Hydroxy-3(S)-[N-(methoxycarbonyl)-1-tert-leucylamino]-4- phenylbutyl-N<sup>alpha</sup>-(methoxycarbonyl)-N'-[4-(2-pyridyl)benzyl]-L- tert-leucylhydrazide (BMS-232632), 3-(2(S)-Hydroxy-3(S)-(3-hydroxy-2-methylbenzamido)-4-phenylbutanoyl)-5,5-dimethyl-N-(2-methylbenzyl)thiazolidine-4(R)-carboxamide (AG-1776), N-(2(R)-Hydroxy-1(S)-indanyl)-2(R)-phenyl-methyl-4(S)-hydroxy-5-(1-(1-(4-benzo[b]furanylmethyl)-2(S)-N'-(tert-butylcarboxamido)piperazinyl)pentanamide (MK-944A), and GW 433908), interferons such as  $\alpha$ -interferon, renal excretion inhibitors such as probenecid, nucleoside transport inhibitors such as dipyridamole; pentoxifylline, N-acetylcysteine (NAC), Procysteine,  $\alpha$ -trichosanthin, phosphonoformic acid, as well as immunomodulators such as interleukin II or thymosin, granulocyte macrophage colony stimulating factors, erythropoietin, soluble CD<sub>4</sub> and genetically engineered derivatives thereof, non-nucleoside reverse transcriptase inhibitors (NNRTIs) [e.g. nevirapine (BI-RG-587),  $\alpha$ -((2-acetyl-5-methylphenyl)amino)-2,6-dichlorobenzeneacetamide (loviride), 1-[3-(isopropylamino)-2-pyridyl]-4-[5-(methanesulfonamido)-1H-indol-2-ylcarbonyl]piperazine monomethanesulfonate (delavirdine), (10R, 11S, 12S)-12-Hydroxy-6, 6, 10, 11-tetramethyl-4-propyl-11,12-dihydro-2H, 6H, 10H-benzo(1, 2-b:3, 4-b':5, 6-b'')tripyrane-2-one ((+) calanolide A), (4S)-6-Chloro-4-[1E]-cyclopropylethenyl)-3,4- dihydro-4-(trifluoromethyl)-2(1H)-quinazolinone (DPC-083), 1-(ethoxymethyl)-5-(1-methylethyl)-6-(phenylmethyl)-2,4(1H,3H)-pyrimidinedione (MKC-442), 5-(3,5-dichlorophenyl)thio-4-isopropyl-1-(4-pyridyl)methyl-1H-imidazol-2-ylmethyl carbamate (capravirine)], glycoprotein 120 antagonists [e.g. PRO-2000, PRO-542 and 1,4-bis[3-[(2, 4-dichlorophenyl)carbonylamino]-2-oxo-5,8-disodiumsulfanylnaphthalyl-2, 5-dimethoxyphenyl-1, 4-dihydrazone (FP-21399)], cytokine antagonists [e.g. reticulose (Product-R), 1,1'-azobis-formamide (ADA), and 1,11-(1,4-phenylenebis(methylene))bis-1,4,8,11-tetraazacyclotetradecane octahydrochloride (AMD-3100)], and fusion inhibitors (e.g. T-20 and T-1249).

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32. A process for the preparation of a compound of formula 4h

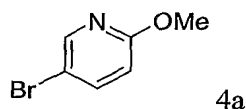


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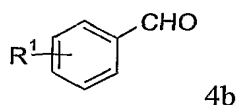
wherein  $R^1$  is one or more substituents independently selected from hydrogen, hydroxy, CN,  $N(R^aR^b)$ ,  $C_{1-8}$ alkyl,  $C_{3-7}$  cycloalkyl, halogen and  $C_{1-8}$  alkoxy, wherein  $R^a$  and  $R^b$  are independently hydrogen,  $NO_2$ ,  $OR^c$ ,  $C(O)R^c$ ,  $C_{1-8}$ alkyl optionally substituted with  $OR^c$ ,  $C_{6-14}$ aryl,  $S(O)_2mR^c$  or heterocycle, wherein  $R^c$  is hydrogen,  $C_{1-8}$ alkyl, or  $C_{6-14}$ aryl and wherein m is 1 or 2;  
comprising:

(a) treating a compound of formula 4a

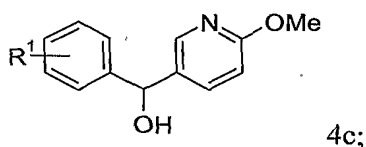


10 with alkyllithium reagents or magnesium;

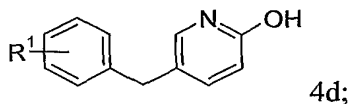
(b) reacting a compound of formula 4a with a compound of formula 4b



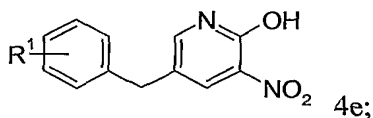
to form a compound of formula 4c



15 (c) reducing a compound of formula 4c to form a compound of formula 4d

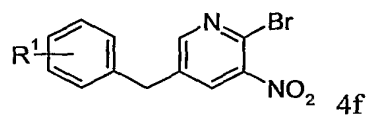


(d) nitrating a compound of formula 4d in an acid solvent to form a compound of formula 4e

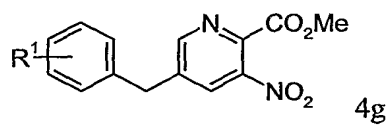


20 (e) treating a compound of formula 4e with phosphorous oxybromide in an inert solvent to form a compound of formula 4f

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(f) carbonylating a compound of formula 4f in the presence of palladium to form a compound of formula 4g



5 (g) reducing a compound of formula 4g to form a compound of formula 4h.